Clean Versi n f the Claims

41. (Twice Amended) A method for improving the aqueous solubility and blood brain barrier penetrability of a drug, comprising the step of forming a covalent chemical bond between the drug and a sugar or oligosaccharide, wherein said drug comprises all of an A, a B and a D moiety, and said step of forming a covalent chemical bond between the drug and said sugar or oligosaccharide results in the formation of reaction product that is a compound according to FORMULA I:

A-B-D-E

Formula I

wherein, each of "-" comprises a single bond; A, comprises a cyclic, heterocyclic, aryl or heteroaryl of a CNS-acting prodrug; B, comprises a bridging hydrocarbon moiety having one to six carbon atoms linked at two of said carbon atoms through single bonds with each of A and D; D, comprises an amine or amide linked through single bonds with each of B and E; and, E comprises a saccharide, with the proviso that when E is a monosaccharide it is not a C_6 glucuronic acid and when E is an oligosaccharide it is not a cyclodextrin.

4. (Amended) The pharmaceutical composition of claim 41 wherein said A-moiety comprises a CNS acting prodrug compound selected from the group consisting of a stimulant, an anti-depressant, a neurotransmitter, a dopaminergic agent, a metabolic precursor compound, a muscle relaxant, a tranquilizer, an analgesic, a narcotic, a sedative, a hypnotic, a narcotic antagonist, a narcotic analgesic, an anti-hypotensive agent, a β-blocker, an anti-hypertensive agent, a vasodilator, an anesthetic, an anti-epileptic compound, an anti-convulsant drug, a hormone, a sympatholytic agent, a centrally acting anti-cholinergic compound, a sympathetic stimulant, an adrenergic agent, a barbiturate antagonist, an anti-infective agent, an anticholinergic agent, an anticonvulsant, a sympatholytic, an ACE inhibitor, an anti-epilepsy agent, an antiviral agent, a gonadotropin synthesis stimulant, a diuretic and an emetic agent.

5. (Amended) The pharmaceutical composition of claim 41, wherein said CNS acting prodrug further comprises a dopaminergic agonist or antagonist.

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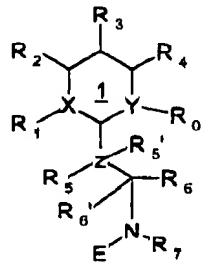
10. (Amended) The method of claim 41, wherein said compound further comprises a compound according to FORMULA IV,



Formula IV

wherein,

Ring 1 comprises a cyclic or heterocyclic ring, or aryl or heteroaryl ring, all of said rings comprising 4 to 8 carbon atoms, among which atoms are counted "X" and "Y";

R_0, R_1, R_2, R_3 and R_4 comprise substituents of Ring 1;

either of X or Y is optional; each of X and Y, when present comprise a carbon atom, a halogen atom or a lower alkyl;

Z, R_5 and $R_{5'}$ are optional; when Z is present it comprises a lower alkyl having substituents R_5, $R_{5'}$;

R_6 and $R_{6'}$ comprise substituents on a carbon atom linking Z with N through a single bond, or when Z is absent, linking N with Ring 1;

N comprises a nitrogen atom of an amine or an amide linked with E through a single bond and having R_7 as a substituent; and

E comprises a saccharide;

with the proviso that when E is a monosaccharide it is not a C_6 glucuronic acid and when E is an oligosaccharide it is not a cyclodextrin.

11. The method of claim 10, wherein said Ring 1 comprises an optionally substituted aryl or heteroaryl ring wherein either one of X or Y comprises a halogen or oxygen and the remaining of X or Y comprises a carbon atom.

12. The method of claim 11, wherein said R_2 and R_3 are hydroxyl.

13. The method of claim 12, wherein said R_1 and R_4 are selected from the group consisting of hydrogen, hydroxyl, halogen, halo-lower alkyl, alkoxy, alkoxy-lower alkyl, halo-alkoxy, thioamido, amidosulfonyl, alkoxycarbonyl, carboxamide, amino-carbonyl and alkylamine-carbonyl.

14. The method of claim 10, wherein each of X and Y comprise a lower alkyl chain having 2 carbon atoms.

15. The method of claim 10, wherein each of X and Y comprise a lower alkyl chain having 1 carbon atom.

16. The method of claim 10, wherein Z comprises a lower alkyl having 1 or 2 carbon atoms.

17. The method of claim 16, wherein said R_5 and $R_{5'}$ are selected from the group consisting of hydrogen, hydroxyl, alkoxyl, carboxyl, alkoxylcarbonyl, aminocarbonyl, alkylamino-carbonyl and dialkylamino-carbonyl.

18. The method of claim 17, wherein said R_6 and $R_{6'}$ are selected from the group consisting of hydrogen, hydroxyl, alkoxyl, carboxyl, alkoxylcarbonyl, aminocarbonyl, alkylamino-carbonyl and dialkylamino-carbonyl.

19. The method of claim 10, wherein Z and R_6 comprise a carbonyl group, N comprises an amide and R_7 is hydrogen.

20. The method of claim 10, wherein R_7 comprises a hydrogen and N comprises an amine.

21. The method of claim 10, wherein said E substituent is selected from the group consisting of a radical of a monosaccharide, a disaccharide, a trisaccharide and an oligosaccharide

22. The method of claim 10, wherein said E monosaccharide comprises a radical of a sugar selected from the group consisting of aldose, ketoaldose, alditols, ketoses, aldonic acids, ketoaldonic acids, aldaric acids, ketoaldaric acids, amino sugars, keto-amino sugars, uronic acids, ketouronic acids, lactones and keto-lactones.

23. The method of claim 22, wherein said radical of a sugar is further selected from the group consisting of triosyl, tetraosyl, pentosyl, hexosyl, heptosyl, octosyl and nonosyl radicals and derivatives thereof.

24. The method of claim 23, wherein said pentosyl sugar radical comprises a straight carbon chain, a furanosyl ring or a derivative thereof.

25. The method of claim 23, wherein said hexosyl sugar radical comprises a straight carbon chain, a furanosyl ring, a pyranosyl ring or a derivative thereof.

26. The method of claim 23, wherein said hexosyl radical is further selected from the group consisting of allose, altrose, glucose, mannose, gulose, idose, galactose, talose, fructose, ribo-hexulose, arabino-hexulose, lyxo-hexulose and derivatives thereof.

27. The method of claim 23, wherein said pentosyl radical is further selected from the group consisting of ribose, arabinose, xylose, lyxose, ribulose, xylulose and derivatives thereof.

28. The method of claim 23, wherein said heptosyl residue comprises sedoheptulose and derivatives thereof.

29. The method of claim 23, wherein said nonosyl residue comprises N-acetylneuraminic acid, N-glycolylneuraminic acid, diacetylneuranminic acid, and derivatives thereof.

30. The method of claim 26, wherein said compound further comprises glucose, galactose, fructose or derivatives thereof.

31. The method of claim 21, wherein said disaccharide, trisaccharide and oligosaccharide comprise a sugar homopolymer or a sugar heteropolymer.

32. The method of claim 31, wherein said sugar homopolymer comprises a glycoside selected from the group consisting of erythran, threan, riban, arabinan, xylan, lyxan, allan, altran, glucan, mannan, gulan, idan, galactan, talan, fructan and derivatives thereof.

33. The method of claim 31, wherein said sugar heteropolymer further comprises a glycoside selected from the group consisting of erythroside, threoside, riboside, arabinoside, xyloside, lyxoside, alloside, altroside, glucoside, mannoside, guloside, idoside, galactoside, taloside, fructoside and derivatives thereof.

34. The method of claim 33, wherein said sugar heteropolymer further comprises a glycoside metabolized in a mammal to a glucosyl or a galactosyl monosaccharide.

35. The method of claim 32, wherein said glycoside further comprises a riban, an arabinan, a glucan, a galactan, a mannan and derivatives thereof.

36. The method of claim 33, wherein said glycoside further comprises a riboside, an arabinoside, a glucoside, a galactoside, a mannoside, a fructoside and derivatives thereof.

37. The method of claim 34, wherein said glucan comprises maltose, amylose, glycogen, cellobiose, amylopectin, heparin and derivatives thereof.

38. The method of claim 35, wherein said glucoside comprises sucrose and derivatives thereof.

39. The method of claim 35, wherein said fructoside comprises fucosidolactose and derivatives thereof.

40. The method of claim 35, wherein said galactoside comprises lactose, hyaluronic acid, pectin and derivatives thereof.